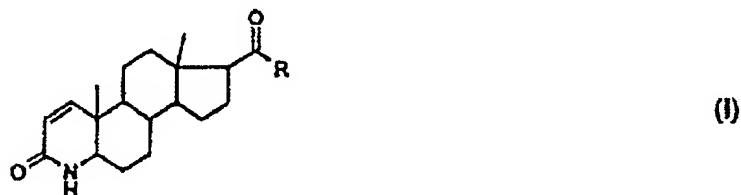


PROCESS FOR INTRODUCING A 1,2-DOUBLE BOND IN 3-OXO-4-AZASTEROID COMPOUNDS

ABSTRACT

[0048] A process for preparing 17 β -substituted 4-azaandrost-1-en-3-one compounds of the general formula (I):



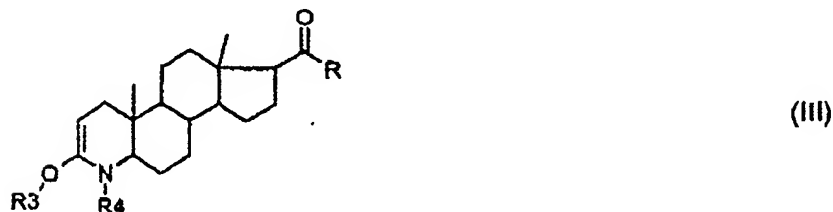
or a pharmaceutically approved salt thereof,
where

R is hydroxyl, optionally substituted, linear or branched (C₁-C₁₂)alkyl or (C₁-C₁₂)alkenyl; phenyl or benzyl; an -OR₁ radical, or an -NHR₁ radical, or an -NR₁R₂ radical;

R₁ is hydrogen, optionally substituted, linear or branched (C₁-C₁₂)alkyl or (C₁-C₁₂)alkenyl, or optionally substituted phenyl;

R₂ is hydrogen, methyl, ethyl or propyl; or
-NR₁R₂ is a 5- or 6-membered heterocyclic ring,

by (A) introducing protecting groups into the 3-keto-4-aza moiety of the corresponding 1,2-dihydro compound, so that a compound of the general formula (III) is formed:



where

R_3 is trialkylsilyl or, together with R_4 , the $-C(O)-C(O)-$ or $-C(O)-Y-C(O)-$ radical;
 R_4 is alkyloxycarbonyl or phenyloxycarbonyl, preferably Boc (= tert-butyloxycarbonyl); or trialkylsilyl, or, together with R_3 , the $-C(O)-C(O)-$ or $-C(O)-Y-C(O)-$ radical;
 Y is $-[C(R_5)(R_6)]_n-$ or $-CH(R_5)=CH(R_6)-$, or ortho-phenylene;
 R_5 and R_6 are each independently hydrogen, linear or branched (C_{1-8}) alkyl or alkenyl, optionally substituted phenyl or benzyl; and
 n is an integer of 1 to 4;
 and where, in the case that R is hydroxyl, it has optionally reacted with a protecting group;
 (B) reacting the resulting compound in the presence (i) of a dehydrogenation catalyst, and in the presence of (ii) optionally substituted benzoquinone, allyl methyl carbonate, allyl ethyl carbonate and/or allyl propyl carbonate, and
 (C) removing the protecting groups R_3 and R_4 and optionally converting the resulting compound to a salt.